## DOMESTY, DELAGA

## WHAT IS CLAIMED IS:

1. A compound of formula I:

$$R^1$$
  $R^2$   $N-W$   $I$ 

wherein

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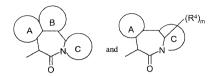
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W is a substituted  $\epsilon$ -caprolactam selected from the group consisting of:

$$(R^4)_m$$

$$(R^4$$



or a substituted 1,5-diazepine of the formula:

15 wherein

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ring A, together with the atoms of the  $\epsilon$ -caprolactam or the substituted 1,5-diazapine to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic;

ring B, together with the atoms of the  $\epsilon$ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic;

ring C, together with the atoms of the  $\epsilon$ -caprolactam to which it is attached, forms a heteroaryl or heterocyclic ring;

 $\mathbf{R}^1$  is selected from the group consisting of hydrogen and an amino-blocking group;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, heteroaryl and heterocyclic;

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R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic:

each R<sup>4</sup> is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic:

X is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkynyl, acyl, aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic; or X and one of R<sup>4</sup> and the atoms to which they are attached form a double bond:

m is an integer from 0 to 2; n is 0 or 1; t is an integer from 0 to 2; and salts thereof.

- 2. The compound of Claim 1 wherein R¹ is selected from the group consisting of hydrogen, tert-butoxycarbonyl, benzyloxycarbonyl, acetyl, 1-(1′-adamantyl)-1-methylethoxycarbonyl, allyloxycarbonyl, benzyloxymethyl, 2-p-biphenylisopropyloxycarbonyl, tert-butyldimethylsilyl, benzoyl, benzyl, 9-fluorenylmethyloxycarbonyl, 4-methylbenzyl, 4-methoxybenzyl, 2-nitrophenylsulfenyl, 3-nitro-2-pyridinesulfenyl, trifluoroacetyl, 2,4,6-trimethoxybenzyl and trityl.
- The compound of Claim 2 wherein R<sup>1</sup> is selected from the group consisting of hydrogen and tert-butoxycarbonyl.
  - The compound of Claim 1 wherein R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

- 5. The compound of Claim 4 wherein R<sup>2</sup> is selected from the group consisting of hydrogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, isobutyl, *sec*-butyl, *ten*-butyl, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methyl-*n*-butyl, 6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cycloheptyl, cycloheptyl, allyl, *iso*-but-2-enyl, 3-
- 5 methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-Cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, p-(phenyl)phenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, m-methoxyphenyl, pmethoxyphenyl, phenethyl, benzyl, m-hydroxybenzyl, p-hydroxybenzyl, pnitrobenzyl, m-trifluoromethylphenyl, p-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C-benzyl,
- 10 p-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, p-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl, p-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl, -CH<sub>2</sub>-(3-tetrahydrofuranyl), -CH<sub>2</sub>-thiophen-2-yl, -CH<sub>2</sub>(1-methyl)cyclopropyl, -CH<sub>2</sub>-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH<sub>2</sub>-C(O)O-t-butyl, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -2-methylcyclopentyl, -cyclohex-2-enyl,
- 15 -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -CH<sub>2</sub>CH=CHCH<sub>3</sub>, -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-t-butyl)CH<sub>3</sub>, -CH(O-CH<sub>2</sub>Ph)CH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl, -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(4-morpholinyl), p-(4-morpholinyl-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5
  - chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, thien-2-yl and thien-3-yl.
- The compound of Claim 1 wherein R³ is selected from the group
   consisting of hydrogen, alkyl, substituted alkyl and cycloalkyl.
  - The compound of Claim 6 wherein R³ is selected from the group consisting of hydrogen, methyl, 2-methypropyl, hexyl, methoxycarbonylmethyl, 3,3-dimethyl-2-oxobutyl, 4-phenylbutyl, cyclopropylmethyl, 2,2,2-trifluoroethyl and cyclohexyl.

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8. The compound of Claim 1 wherein W is a substituted  $\epsilon$ -caprolactam selected from the group consisting of:

or a substituted 1,5-diazepine of the formula:

$$(R^4)_t$$
 $N$ 
 $A$ 
 $R^3$ 

- The compound of Claim 8 wherein rings A and B are the same or
   different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
  - 10. The compound of Claim 9 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.

- 11. The compound of Claim 10 wherein rings A and B are independently aryl.
- 12. The compound of Claim 8 wherein W is a substituted  $\epsilon$ 5 caprolactam of the formula:

- 13. The compound of Claim 12 wherein rings A and B are the same or different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkeyl, heteroaryl and heterocyclic.
- 14. The compound of Claim 13 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.
- The compound of Claim 14 wherein rings A and B are
   independently aryl.
  - 16. The compound of Claim 12 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:

wherein

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each R<sup>5</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl;

each R<sup>6</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl:

R<sup>7</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic;

p is an integer from 0 to 4; q is an integer from 0 to 4; and salts thereof.

17. The compound of Claim 16 wherein  $R^5$  and  $R^6$  are independently selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.

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- 18. The compound of Claim 16 wherein  ${\bf R}^7$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, acyl, aryl, cycloalkyl and substituted cycloalkyl.
- The compound of Claim 18 wherein R<sup>7</sup> is selected from the group consisting of hydrogen, methyl, 2-methypropyl, hexyl, methoxycarbonylmethyl, 3,3-dimethyl-2-oxobutyl, 4-phenylbutyl, cyclopropylmethyl, 2,2,2-trifluoroethyl and cyclohexyl.
  - 20. The compound of Claim 16 wherein *W* is a substituted €-caprolactam selected from the group consisting of 5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-(3,3-dimethylbutan-2-onyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-cyclopropymethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-(2',2',2'-trifluoroethyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-cyclohexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-cyclohexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepi
- 21. The compound of Claim 8 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:



COMPAND AND STANDARD

- 22. The compound of Claim 21 wherein rings A and B are the same or different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkeyl, heteroaryl and heterocyclic.
- The compound of Claim 22 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.
- 24. The compound of Claim 23 wherein rings A and B are independently aryl.
- 25. The compound of Claim 24 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:

$$(R^5)_p$$
 $N$ 
 $(R^6)$ 

20 wherein

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each R<sup>5</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl:

each  $R^6$  is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy,

alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl;

p is an integer from 0 to 4; r is an integer from 0 to 3; and salts thereof.

- 26. The compound of Claim 25 wherein R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.
- 27. The compound of Claim 8 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:

$$(R^4)_m$$

$$C$$

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- 28. The compound of Claim 27 wherein ring A is selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 29. The compound of Claim 22 wherein ring A is selected from the group consisting of aryl and cycloalkyl.
  - 30. The compound of Claim 29 wherein ring A is aryl.
  - 31. The compound of Claim 30 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:

wherein

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each R<sup>5</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl:

p is an integer from 0 to 4; and salts thereof.

- 32. The compound of Claim 31 wherein R<sup>5</sup> is selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.
- 33. The compound of Claim 8 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:



- 34. The compound of Claim 33 wherein ring A is selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 35. The compound of Claim 34 wherein ring A is selected from the group consisting of aryl and cycloalkyl.
  - 36. The compound of Claim 35 wherein ring A is aryl.
  - 37. The compound of Claim 36 wherein W is a substituted  $\epsilon$ -caprolactam of the formula:

wherein

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each R<sup>5</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl:

p is an integer from 0 to 4; and salts thereof.

38. The compound of Claim 37 wherein R<sup>5</sup> is selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino,

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substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.

39. The compound of Claim 8 wherein W is a substituted 1,5-diazepine of the formula:

$$(R^4)_t$$
 $N$ 
 $A$ 
 $N$ 
 $R^3$ 

40. The compound of Claim 39 wherein ring A is selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.

41. The compound of Claim 40 wherein ring A is selected from the group consisting of aryl and cycloalkyl.

42. The compound of Claim 41 wherein ring A is aryl.

43. The compound of Claim 39 wherein X is hydrogen, alkyl or aryl, or X and one of  $R^4$  and the atoms to which they are attached form a double bond.

The compound of Claim 43 wherein X is methyl or phenyl.

45. The compound of Claim 39 wherein t is 0 or 1.

46. The compound of Claim 45 wherein t is 1.

47. The compound of Claim 42 wherein W is a 1,5-benzodiazepine of the formula:

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$$(R^\theta)_t \underbrace{\hspace{1cm} \overset{X}{\underset{N}{\longleftarrow}} \overset{(R^\delta)_p}{\underset{R^\theta}{\longrightarrow}}}_{N}$$

## 10 wherein

each R<sup>5</sup> is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO<sub>2</sub>-alkyl, -SO<sub>2</sub>-substituted alkyl, -SO<sub>2</sub>-aryl, and -SO<sub>2</sub>-heteroaryl:

each  $\mathbb{R}^8$  is independently selected from the group consisting of alkyl, aryl and heterocyclic;

R9 is alkyl:

X' is selected from the group consisting of hydrogen, alkyl and aryl; or X' and one of R<sup>8</sup> and the atoms to which they are attached form a double bond; p is an integer from 0 to 4; t is an integer from 0 to 2; and salts thereof.

- 48. The compound of Claim 1, wherein n is 1.
- 49. The compound of Claim 48, wherein W is a substituted  $\epsilon$ -caprolactam selected from the group consisting of:

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$$(R^5)_p \longrightarrow (R^6)_q \qquad (R^6)_p \longrightarrow (R^6)_r$$

$$(R^5)_p \longrightarrow (R^5)_p \longrightarrow (R^5)_p$$
and

or a 1,5-benzodiazepine of the formula:

$$(R^a)_t \xrightarrow{X^t} (R^g)_p$$

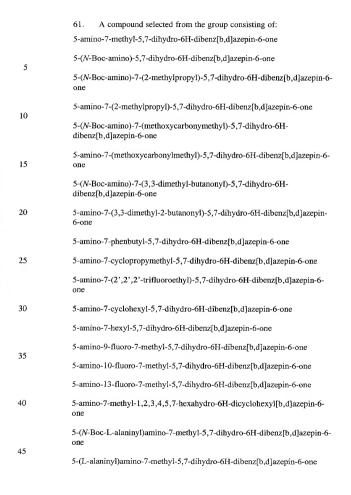
- 50. The compound of Claim 49, wherein p, q and r are independently 0 or 1; each  $R^5$  is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, alkoxy, and halo; each  $R^6$  is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, alkoxy, and halo; and each  $R^7$  is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl and aryl.
  - 51. The compound of Claim 50, wherein p, q and r are 0.
  - 52. The compound of Claim 16, wherein n is 0.

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- 53. The compound of Claim 52, wherein p, q and r are independently 0, 1 or 2; each  $R^5$  is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; each  $R^6$  is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; and each  $R^7$  is independently selected from the group consisting of alkyl, substituted alkyl, evcloalkyl and arvl.
- 54. The compound of Claim 53, wherein p and q are independently 0 or 1.
  - 55. The compound of Claim 25, wherein n is 0.
- 56. The compound of Claim 55, wherein p, q and r are independently 0, 1 or 2; each  $R^5$  is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; and each  $R^6$  is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo.
- 57. The compound of Claim 56, wherein p and q are independently 0 or 1.
- 58. The compound of Claim 47, wherein n is 0.
- 59. The compound of Claim 58, wherein t and p are independently 0, 1 or 2; each  $R^5$  is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; each  $R^8$  is independently selected from the group consisting of alkyl or aryl; and  $R^9$  is alkyl.
- 60. The compound of Claim 59, wherein t and p are independently 0 or 1.



|  |    | 5-(N-Boc-L-valinyl) a mino-7-methyl-5, 7-dihydro-6H-dibenz [b,d] a zepin-6-one  |
|--|----|---|
|  | 5  | 5-(L-valinyl) a mino-7-methyl-5, 7-dihydro-6H-dibenz [b,d] a zepin-6-one  |
|  |    | $5-(N\hbox{-Boc-L-}\textit{tert-}leucinyI) a mino-7-methyl-5,7-dihydro-6H-dibenz[b,d] azepin-6-one$                         |
|  | 10 | 5-(L-tert-leucinyl) a mino-7-methyl-5, 7-dihydro-6H-dibenz[b,d] a zepin-6-one   |
|  |    | $5\hbox{-}(N\hbox{-Boc-L-alaninyl}) amino-9\hbox{-fluoro-7-methyl-5,7-dihydro-6} H-dibenz[b,d] azepin-6\hbox{-one}$         |
|  | 15 | 5-(L-alaninyl) a mino-9-fluoro-7-methyl-5, 7-dihydro-6H-dibenz [b,d] a zepin-6-one  |
|  | 20 | $5-(N\hbox{-Boc-L-alaninyl}) amino-10-fluoro-7-methyl-5, 7-dihydro-6 H-dibenz [b,d] azepin-6-one$                           |
|  |    | 5-(L-alaninyl) a mino-10-fluoro-7-methyl-5, 7-dihydro-6 H-dibenz[b,d] azepin-6-one  |
|  | 25 | $5-(N\hbox{-Boc-L-alaninyl}) amino-13-fluoro-7-methyl-5, 7-dihydro-6 H-dibenz [b,d] azepin-6-one$                           |
|  |    | $5\hbox{-}(L\hbox{-}alaninyl) amino-13\hbox{-}fluoro-7\hbox{-}methyl-5,7\hbox{-}dihydro-6H-dibenz[b,d] azepin-6\hbox{-}one$ |
|  | 30 | $5-(N\hbox{-Boc-L-alaninyl}) amino-7-cyclopropylmethyl-5, 7-dihydro-6 H-dibenz [b,d] azepin-6-one$                          |
|  | 35 | $5\hbox{-}(L\hbox{-}alaninyl) amino-7\hbox{-}cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d] azepin-6-one}$                    |
|  |    | $5-(N\hbox{-Boc-L-alaninyl}) amino-7-phenbutyl-5, 7-dihydro-6H-dibenz[b,d] azepin-6-one$                                    |
|  | 40 | 5-(L-alaninyl)amino-7-phenbutyl-5, 7-dihydro-6H-dibenz [b,d] azepin-6-one   |
|  |    | $5-(N\hbox{-Boc-L-valiny}) a mino-7-cyclopropylmethyl-5,7-dihydro-6 H-dibenz[b,d] a zepin-6-one$                            |
|  | 45 | $\label{eq:continuity} 5-(L-valinyl) a mino-7-cyclopropyl methyl-5, 7-dihydro-6 H-dibenz [b,d] a zepin-6-one$               |
|  |    |   |

|    | $5\hbox{-}(N\hbox{-Boc-L-valinyl}) a mino-7\hbox{-phenbutyl-}5, 7\hbox{-dihydro-}6H\hbox{-dibenz}[b,d] a zepin-6\hbox{-one}$   |
|----|--|
| 5  | 5-(L-valinyl)amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one  |
| 3  | $5\hbox{-}(N\hbox{-Boc-L-valinyl}) a mino-7\hbox{-hexyl-}5, 7\hbox{-dihydro-}6\hbox{H-dibenz}[b,d] a zepin-6-one$  |
| 10 | $5\hbox{-}(L\hbox{-}valinyl) a mino-7\hbox{-}hexyl-5,7\hbox{-}dihydro-6H\hbox{-}dibenz[b,d] a zepin-6\hbox{-}one$  |
| 10 | 5-( <i>N</i> -Boc-L-valinyl)amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one   |
| 15 | 5-(L-valinyl) amino-9-fluoro-7-methyl-5, 7-dihydro-6H-dibenz [b,d] azepin-6-one  |
|    | $5-(N\hbox{-Boc-L-valinyl}) a mino-10-fluoro-7-methyl-5,7-dihydro-6 H-dibenz[b,d] a zepin-6-one$   |
| 20 | 5-(L-valinyl) amino-10-fluoro-7-methyl-5, 7-dihydro-6H-dibenz [b,d] azepin-6-one   |
| 25 | $5-(N\hbox{-Boc-L-valinyl}) a mino-13-fluoro-7-methyl-5,7-dihydro-6 H-dibenz [b,d] a zepin-6-one$  |
| 23 | 5-(L-valinyl) amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz [b,d] azepin-6-one  |
| 30 | 5-amino-9, 13-difluoro-7-methyl-5, 7-dihydro-6H-dibenz[b,d] azepin-6-one   |
| 30 | $5\text{-}amino\text{-}10,13\text{-}difluoro\text{-}7\text{-}methyl\text{-}5,7\text{-}dihydro\text{-}6H\text{-}dibenz[b,d]} a zepin\text{-}6\text{-}one$   |
|    | $5-amin ohexahydropyrido \hbox{\small [a]} benz \hbox{\small [d]} azepin-6-one$  |
| 35 | $9\hbox{-amino-}5, 6\hbox{-Dihydro-}4H\hbox{-quino}[8,1\hbox{-ab}][3] benzazepin-8 (9H)\hbox{-one}$  |
|    | $9\hbox{-}(N'\hbox{-Boc-L-alaninyl}) amino-5,6\hbox{-Dihydro-4H-quino} \cite{Bolden} \cite{Bolden} algorithm{1}{100} amino-1000 amin$ |
| 40 | 9-(N'-L-alaninyl)amino-5,6-dihydro-4H-quino[8,1-ab][3]benzazepin-8(9H)-one   |
|    | $7\hbox{-amino-}1,3,4,7,12,12\hbox{a-hexahydropyrido}[2,1\hbox{-b}][3]\\ benzazepin-6(2H)\hbox{-one}$  |
| 45 | 1-amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one   |

1-(N'-Boc-L-alaninyl)amino-4,5,6,7-tetrahydro-3,7-methano-3H-3benzazonin-2(1H)-one 1-(N'-L-alaninyl)amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-5 2(1H)-one and salts thereof 62. A compound selected from the group consisting of: 10 1,3-dihydro-3-amino-1-methyl-4-phenyl-(2H)-1,5-benzodiazepin-2-one 1.3-dihydro-3-(N-Boc-L-alaninyl)amino-1-methyl-4-phenyl-(2H)-1.5benzodiazepin-2-one 15 1,3-dihydro-3-(L-alaninyl)amino-1-methyl-4-phenyl-(2H)-1,5benzodiazepin-2-one 1,3,4,5-tetrahydro-3-amino-1-methyl-4-phenyl-(2H)-1,5-benzodiazepin-2one 20 1.3.4.5-tetrahydro-3-(N-Boc-L-alaninyl)amino-1-methyl-4-phenyl-(2H)-1,5-benzodiazepin-2-one 1,3,4,5-tetrahydro-3-(L-alaninyl)amino-1-methyl-4-phenyl-(2H)-1.5-25 benzodiazepin-2-one 1.3-dihydro-3-amino-1-methyl-4-isopropyl-(2H)-1.5-benzodiazepin-2-one 1,3-dihydro-3-(N-Boc-L-alaninyl)amino-1-methyl-4-isopropyl-(2H)-1,5-30 benzodiazepin-2-one 1,3-dihydro-3-(L-alaninyl)amino-1-methyl-4-isopropyl-(2H)-1,5benzodiazepin-2-one 35 1,3,4,5-tetrahydro-3-amino-1-methyl-4-isopropyl-(2H)-1,5-benzodiazepin-2-one 1,3,4,5-tetrahydro-3-(N-Boc-L-alaninyl)amino-1-methyl-4-isopropyl-(2H)-1,5-benzodiazepin-2-one 40 1,3,4,5-tetrahydro-3-(L-alaninyl)amino-1-methyl-4-isopropyl-(2H)-1,5benzodiazepin-2-one

|  |    | 1, 3-dihydro-3-(N-Boc-L-norleucinyl) amino-1-methyl-4-isopropyl-(2H)-1, 5-benzodiazepin-2-one  |
|--|----|--|
|  | 5  | 1, 3-dihydro-3-(L-norleucinyl) amino-1-methyl-4-isopropyl-(2H)-1, 5-benzodiazepin-2-one  |
|  |    | 1,3,4,5-tetra hydro-3-(N-Boc-L-norleucinyl) amino-1-methyl-4-isopropyl-(2H)-1,5-benzodiazepin-2-one  |
|  | 10 | 1,3,4,5-tetrahydro-3-(L-norleucinyl) a mino-1-methyl-4-isopropyl-(2H)-1,5-benzodiazepin-2-one  |
|  | 15 | 1, 3- dihydro-3-(N-Boc-L-norleucinyl) amino-1-methyl-4-phenyl-(2H)-1, 5-benzodiazepin-2-one  |
|  |    | 1, 3- dihydro-3-(L-norleucinyl) amino-1-methyl-4-phenyl-(2H)-1, 5-benzodiazepin-2-one  |
|  | 20 | $3\hbox{-amino-1-methyl-5-phenyl-1,3,4,5-tetrahydro-(2H)-1,5-benzodiazepin-2-one}\\$   |
|  |    | 3-(N-Boc-L-alaninyl) a mino-1-methyl-5-phenyl-1, 3, 4, 5-tetra hydro-(2H)-1, 5-benzo diazepine-2-one   |
|  | 25 | $3\hbox{-}(L\hbox{-}alaninyl) a mino-1\hbox{-}methyl\hbox{-}5\hbox{-}phenyl\hbox{-}1,3,4,5\hbox{-}tetra hydro-(2H)\hbox{-}1,5\hbox{-}benzo diazepine-2-one}$ |
|  | 30 | $3\hbox{-}(N\hbox{-Boc-$L$-valinyl}) a mino-1\hbox{-methyl-5-phenyl-1}, 3, 4, 5\hbox{-tetrahydro-(2H)-1}, 5\hbox{-benzodiazepin-2-one}$                      |
|  |    | $3\hbox{-}(L-valinyl) amino-1-methyl-5\hbox{-}phenyl-1,3,4,5\hbox{-}tetrahydro-(2H)-1,5\hbox{-}benzodiazepin-2-one}$   |
|  | 35 | $3\hbox{-}[N\hbox{-Boc-L-}(O\hbox{-benzyl})\hbox{-threoninyl}] amino-1\hbox{-methyl-5-phenyl-1}, 3,4,5-tetrahydro-(2H)-1,5\hbox{-benzodiazepin-2-one}$       |
|  |    | $3\hbox{-}[L\hbox{-}(O\hbox{-}benzyl)\hbox{-}threoninyl] amino-1-methyl-5\hbox{-}phenyl-1,3,4,5\hbox{-}tetrahydro-(2H)-1,5\hbox{-}benzodiazepin-2-one}$      |
|  | 40 | $3\hbox{-}[N\hbox{-Boc-}(S)\hbox{-phenylglycinyl}]amino-1-methyl-5-phenyl-1,3,4,5-tetrahydro-(2H)-1,5-benzodiazepine 2-one$                                  |
|  | 45 | $3-[(S)-phenylglycinyl] amino-1-methyl-5-phenyl-1, 3, 4, 5-tetrahydro-(2H)-1, 5-benzodiazepine \ 2-one$  |
|  |    |  |

- 3-amino-1,5-bis-methyl-1,3,4,5-tetrahydro-(2H)-1,5-benzodiazepine-2-one
  3-(N-Boc-L-alaninyl)amino-1,5-bis-methyl-1,3,4,5-tetrahydro-(2H)-1,5-benzadiazepine-2-one
  3-(L-alaninyl)amino-1,5-bis-methyl-1,3,4,5-tetrahydro-(2H)-1,5-benzadiazepine-2-one
- and salts thereof.